

ABSTRACT OF THE DISCLOSURE

ET-743 is a small molecular weight compound with antineoplastic activity that inhibits the ability of the nuclear receptor SXR to trans activate gene transcription from SXR regulated response elements. The nuclear receptor SXR has been identified as a receptor that activates transcription of the *mdr1* gene and thus increases multidrug resistance in cells. The interaction of SXR with the *mdr1* gene and ET-743 provide a set of physiological mechanisms which can be exploited to identify novel inhibitors of SXR activation and *mdr1* gene transcription and thus novel agents which exhibit an antineoplastic effect against tumor cells either alone or when coadministered with another antineoplastic agent.

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